

10/923,271

NEWS 8 NOV 04 Selected STN databases scheduled for removal on
December 31, 2010
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December 31, 2010 by Request of Prous Science
NEWS 10 NOV 22 Higher System Limits Increase the Power of STN
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NEWS 12 DEC 14 New PNK Field Allows More Precise Crossover among STN
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NEWS 13 DEC 18 ReaxysFile available on STN
NEWS 14 DEC 21 CAS Learning Solutions -- a new online training experience
NEWS 15 DEC 22 Value-Added Indexing Improves Access to World Traditional
Medicine Patents in Cplus
NEWS 16 JAN 24 The new and enhanced DPCI file on STN has been released
NEWS 17 JAN 26 Improved Timeliness of CAS Indexing Adds Value to
USPATFULL and USPAT2 Chemistry Patents
NEWS 18 JAN 26 Updated MeSH vocabulary, new structured abstracts, and
other enhancements improve searching in STN reload of
MEDLINE
NEWS 19 JAN 28 CABA will be updated weekly

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.

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FILE 'HOME' ENTERED AT 13:20:59 ON 15 FEB 2011

=> file reg		
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	ENTRY	SESSION
FULL ESTIMATED COST	0.23	0.23

FILE 'REGISTRY' ENTERED AT 13:21:15 ON 15 FEB 2011
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STRUCTURE FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1
DICTIONARY FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

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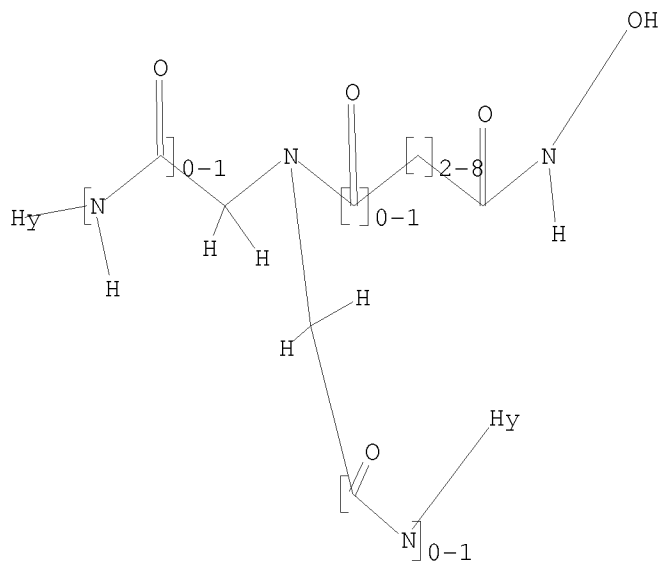
Uploading C:\Program Files\Stnexp\Queries\10580480e.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 13:22:28 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 213671 TO ITERATE

10/923,271

100.0% PROCESSED 213671 ITERATIONS
SEARCH TIME: 00.00.16

16 ANSWERS

L2 16 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

197.88

198.11

FILE 'CAPLUS' ENTERED AT 13:23:04 ON 15 FEB 2011

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FILE COVERS 1907 - 15 Feb 2011 VOL 154 ISS 8

FILE LAST UPDATED: 14 Feb 2011 (20110214/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12 and py<2003

4 L2

23000005 PY<2003

L3

0 L2 AND PY<2003

=> s 12 and py<2004

4 L2

24052574 PY<2004

L4

0 L2 AND PY<2004

=> s 12

L5

4 L2

=> d 1-4 ibib abs hitstr

T0h

15/02/2011

10/923,271

THE ESTIMATED COST FOR THIS REQUEST IS 23.84 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:666074 CAPLUS

DOCUMENT NUMBER: 151:520134

TITLE: Pharmacophore identification of hydroxamate HDAC 1 inhibitors

AUTHOR(S): Yu, Liqin; Liu, Fei; Chen, Yadong; You, Qidong

CORPORATE SOURCE: Jiangsu Key Laboratory of Carcinogenesis and Intervention, Department of Medicinal Chemistry, China Pharmaceutical University, Nanjing, Jiangsu, 210009, Peop. Rep. China

SOURCE: Chinese Journal of Chemistry (2009), 27(3), 557-564

CODEN: CJOCEV; ISSN: 1001-604X

PUBLISHER: Shanghai Institute of Organic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A three-dimensional pharmacophore model was established based on 24 hydroxamate histone deacetylase (HDAC) inhibitors by HypoGen algorithm embedded in Catalyst software. The best pharmacophore hypothesis (Hypol), consisting of four chemical features (one hydrogen-bond acceptor, one aromatic ring and two hydrophobic groups), has a correlation coefficient of 0.946. The Hypol was also validated by a test set consisting of 20 other compds. Compared with the prior studies towards HDAC inhibitors the detailed chemical features of the "CAP" region in the reported HDAC inhibitors were for the first time depicted, which would be helpful in the further designing of novel HDAC inhibitors.

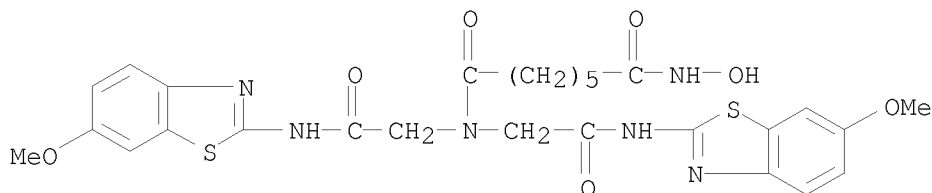
IT 853954-87-7

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three-dimensional pharmacophore model was developed based on hydroxamate deacetylase 1 inhibitors by HypoGen algorithm embedded in catalyst software, suggests that branched cap structure of HDAC inhibitors strengthen interaction to HDAC 1)

RN 853954-87-7 CAPLUS

CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-[(6-methoxy-2-benzothiazolyl)amino]-2-oxoethyl]- (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:942810 CAPLUS

DOCUMENT NUMBER: 149:224564

TITLE: Preparation of N-phenyl amino acid hydroxamates useful

as therapeutic agents for treating anthrax poisoning
 INVENTOR(S): Jiao, Guan-Sheng; Johnson, Alan T.
 PATENT ASSIGNEE(S): Panthera Biopharna, LLC, USA
 SOURCE: PCT Int. Appl., 116pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008094592	A1	20080807	WO 2008-US1217	20080130
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2007-898988P P 20070201
 OTHER SOURCE(S): MARPAT 149:224564

AB The invention relates to amino acid hydroxamates R₁NHCHR₂CONHOH [R₁ is Ph substituted by 1-3 groups selected from halo, alkyl, alkoxy, Ph, CN, CO₂H, etc.; R₂ is alkyl, (un)substituted Ph, cyclohexyl, alkylamino, etc.] or their pharmaceutically-acceptable salts, which inhibit the lethal effects of infection by anthrax bacteria and are useful in the treatment of poisoning by anthrax. Thus, 3,4-MeFC₆H₃NHCHBuCONHOH was prepared from Me 2-bromohexanoate and 4-fluoro-3-methylaniline and assayed for lethal factor inhibitory activity (K_i = 2.0 μM).

IT 1043890-73-8P

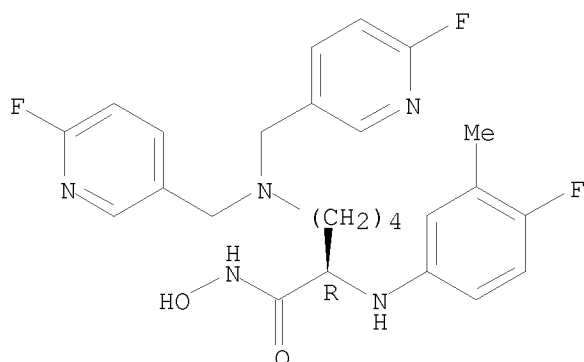
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hydroxamic acid derivs. of aniline useful as therapeutic agents for treating anthrax poisoning)

RN 1043890-73-8 CAPLUS

CN Hexanamide, 6-[bis[(6-fluoro-3-pyridinyl)methyl]amino]-2-[(4-fluoro-3-methylphenyl)amino]-N-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:523234 CAPLUS

DOCUMENT NUMBER: 143:59339

TITLE: Preparation of diamine and iminodiacetic acid
hydroxamic acid derivatives as histone deacetylase
inhibitors useful against cancer and other diseases

INVENTOR(S): Miller, Thomas A.; Witter, David J.; Belvedere, Sandro

PATENT ASSIGNEE(S): Aton Pharma, Inc., USA

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053610	A2	20050616	WO 2004-US39221	20041123
WO 2005053610	A3	20051222		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004294930	A2	20050616	AU 2004-294930	20041123
AU 2004294930	A1	20050616		
CA 2547356	A1	20050616	CA 2004-2547356	20041123
EP 1694329	A2	20060830	EP 2004-811866	20041123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

CN 1905881	A	20070131	CN 2004-80040991	20041123
JP 2007512367	T	20070517	JP 2006-541622	20041123
IN 2006DN03110	A	20070824	IN 2006-DN3110	20060531
US 20090023718	A1	20090122	US 2008-580480	20080214
PRIORITY APPLN. INFO.:			US 2003-525333P	P 20031126
			WO 2004-US39221	W 20041123

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:59339; MARPAT 143:59339

AB The present invention relates to a novel class of hydroxamic acid derivs. having a diamine or iminodiacetic acid backbone (1: (R1(HNC(O))p1CH2)(R2(HNC(O))p2CH2)N(C(O))m(CH2)nC(O)NHOH; n = 2-8; m = 0-1; p1 and p2 = 0 or 1; R1 and R2 = an (un)substituted aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylaryl, alkylheteroaryl, alkylcycloalkyl or alkylheterocyclyl; or when p1 and p2 are both 0, R1 and R2 together with the -CH2NCH2- group to which they are attached can also be a N-containing heterocyclic ring; or when at least one of p1 or p2 is not 0, R1 or R2 or both can also = H or alkyl; e.g. 6-[bis[2-oxo-2-(4-phenylpiperazin-1-yl)ethyl]amino]hexanoic acid hydroxyamide (2)). The hydroxamic acid compds. can be used to treat cancer. The hydroxamic acid compds. can also inhibit histone deacetylase (HDAC) and are suitable for use in selectively including terminal differentiation, arresting cell growth and/or apoptosis of neoplastic cells, thereby inhibiting proliferation of such cells. Thus, 1 are useful in treating a patient having a tumor characterized by proliferation of neoplastic cells. Compds. 1 are also useful in the prevention and treatment of TRX-mediated diseases, such as autoimmune, allergic and inflammatory diseases, and in the prevention and/or treatment of diseases of the central nervous system (CNS), such as neurodegenerative diseases. The present invention further provides pharmaceutical compns. comprising the hydroxamic acid derivs., and safe, dosing regimens of these pharmaceutical compns., which are easy to follow, and which result in a therapeutically effective amount of the hydroxamic acid derivs. in vivo. Although the methods of preparation are not claimed, example preps. and/or characterization data for .apprx.60 1 are included. For example, 2 was prepared by coupling of 6-[N,N-bis(carboxymethyl)amino]hexanoic acid Me ester hydrochloride with N-phenylpiperazine using EDCI (74 %) followed by conversion of the Me ester to the hydroxamic acid using NH2OH (88 %). Results of HDAC inhibition by .apprx.80 examples of 1 are tabulated.

IT 853954-53-7P, Octanedioic acid
 N,N-bis[(quinolin-8-ylcarbamoyl)methyl]amide hydroxyamide
 853954-55-9P, Hexanedioic acid
 N,N-bis[(quinolin-8-ylcarbamoyl)methyl]amide hydroxyamide
 853954-56-0P, Heptanedioic acid
 N,N-bis[(quinolin-8-ylcarbamoyl)methyl]amide hydroxyamide
 853954-63-9P, Octanedioic acid
 N,N-bis[(quinolin-6-ylcarbamoyl)methyl]amide hydroxyamide
 853954-69-5P, Heptanedioic acid
 N,N-bis[(benzothiazol-2-yl)carbamoyl)methyl]amide hydroxyamide
 853954-70-8P, Heptanedioic acid
 N,N-bis[(quinolin-6-ylcarbamoyl)methyl]amide hydroxyamide
 853954-76-4P, Heptanedioic acid
 N,N-bis[(2,3-dihydrobenzo[1,4]dioxin-6-yl)carbamoyl)methyl]amide
 hydroxyamide 853954-77-5P, Heptanedioic acid
 N,N-bis[(1H-indazol-5-ylcarbamoyl)methyl]amide hydroxyamide
 853954-82-2P, Heptanedioic acid
 N,N-bis[(benzodioxol-5-ylcarbamoyl)methyl]amide hydroxyamide
 853954-87-7P, Heptanedioic acid

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N,N-bis[(6-methoxybenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide
853954-88-8P, Heptanedioic acid

N,N-bis[(6-chlorobenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide
853954-89-9P, Heptanedioic acid

N,N-bis[(4-methylbenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide
853954-91-3P, Heptanedioic acid

N,N-bis[[(1-methyl-1H-benzimidazol-2-yl)carbamoyl)methyl]amide
hydroxyamide 853954-92-4P, Heptanedioic acid

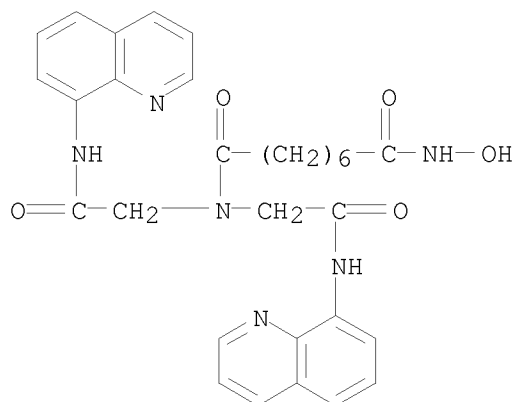
N,N-bis[(6-fluorobenzothiazol-2-ylcarbamoyl)methyl]amide hydroxyamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of diamine and iminodiacetic acid hydroxamic
acid derivs. as histone deacetylase inhibitors useful against cancer
and other diseases)

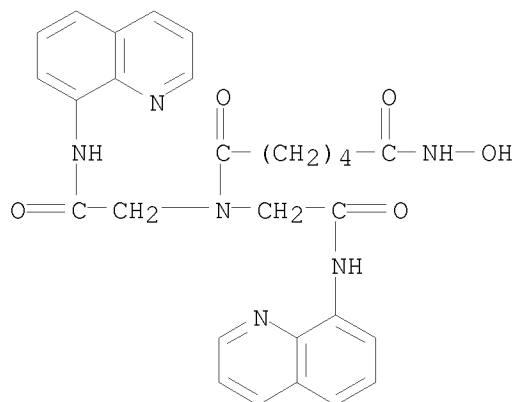
RN 853954-53-7 CAPLUS

CN Octanediamide, N8-hydroxy-N1,N1-bis[2-oxo-2-(8-quinolinylamino)ethyl]-
(CA INDEX NAME)



RN 853954-55-9 CAPLUS

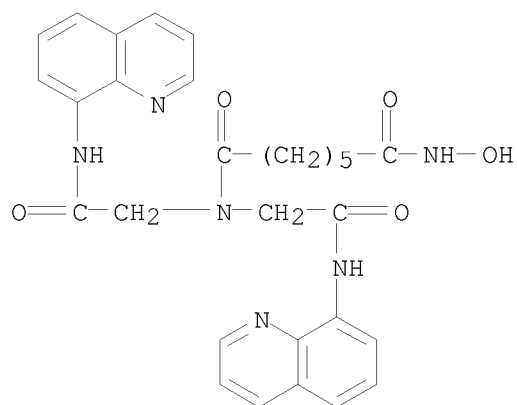
CN Hexanediamide, N6-hydroxy-N1,N1-bis[2-oxo-2-(8-quinolinylamino)ethyl]-
(CA INDEX NAME)



10/923,271

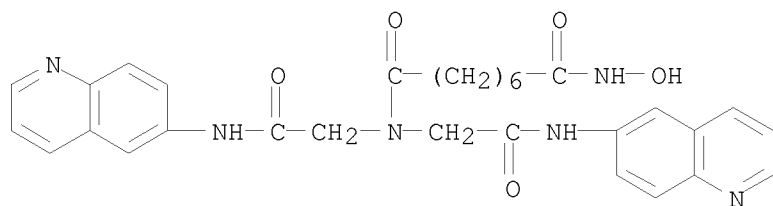
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CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-oxo-2-(8-quinolinylamino)ethyl]-
(CA INDEX NAME)



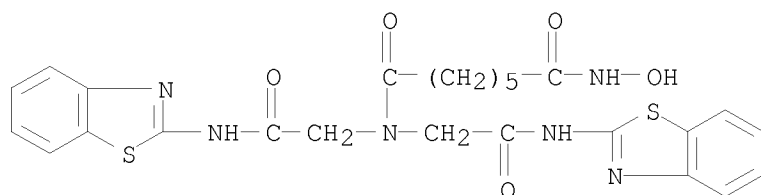
RN 853954-63-9 CAPLUS

CN Octanediamide, N8-hydroxy-N1,N1-bis[2-oxo-2-(6-quinolinylamino)ethyl]-
(CA INDEX NAME)



RN 853954-69-5 CAPLUS

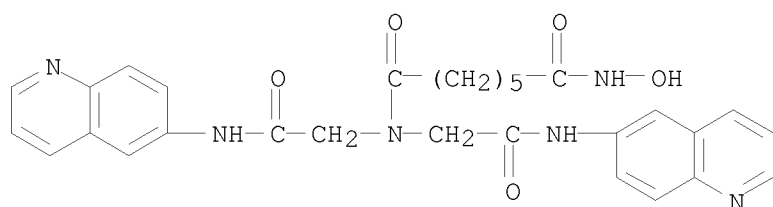
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(CA INDEX NAME)



RN 853954-70-8 CAPLUS

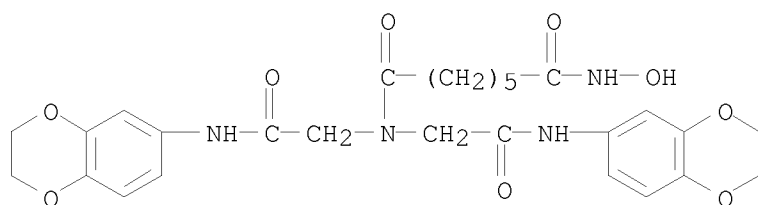
CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-oxo-2-(6-quinolinylamino)ethyl]-
(CA INDEX NAME)

10/923,271



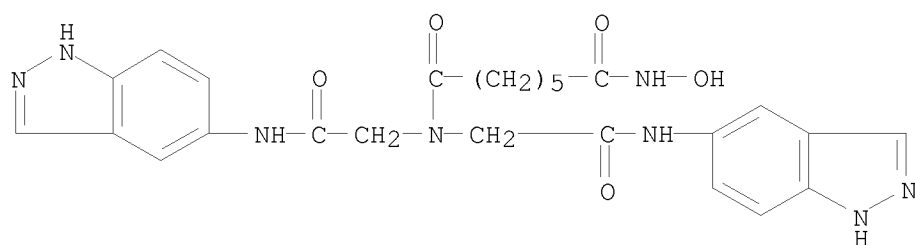
RN 853954-76-4 CAPLUS

CN Heptanediamide, N1,N1-bis[2-[(2,3-dihydro-1,4-benzodioxin-6-yl)amino]-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)



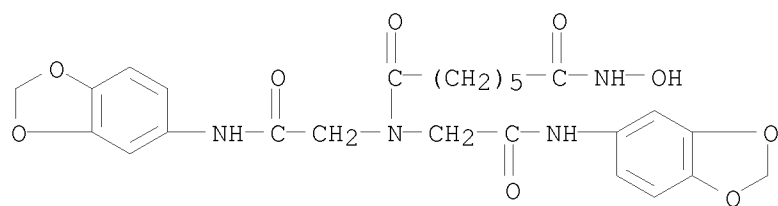
RN 853954-77-5 CAPLUS

CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-(1H-indazol-5-ylamino)-2-oxoethyl]- (CA INDEX NAME)



RN 853954-82-2 CAPLUS

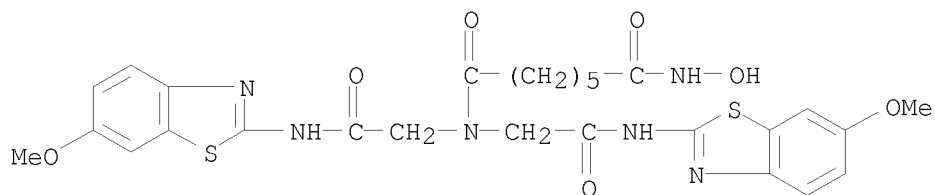
CN Heptanediamide, N1,N1-bis[2-(1,3-benzodioxol-5-ylamino)-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)



RN 853954-87-7 CAPLUS

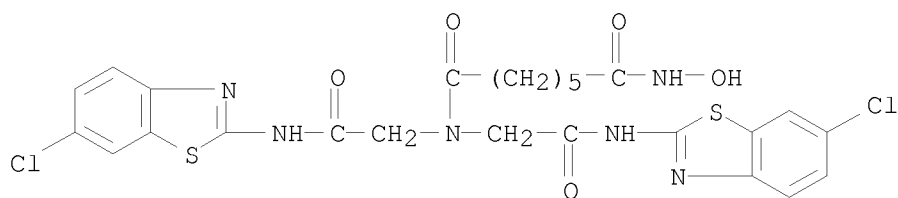
CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-[(6-methoxy-2-benzothiazolyl)amino]-2-oxoethyl]- (CA INDEX NAME)

10/923,271



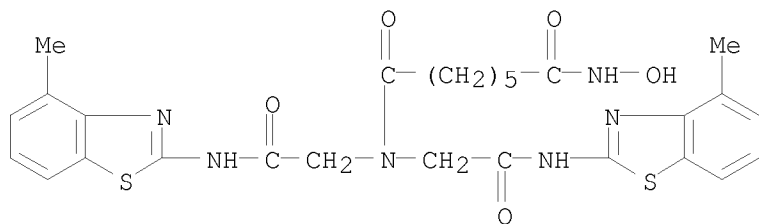
RN 853954-88-8 CAPLUS

CN Heptanediamide, N1,N1-bis[2-[(6-chloro-2-benzothiazolyl)amino]-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)



RN 853954-89-9 CAPLUS

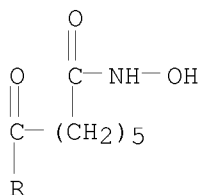
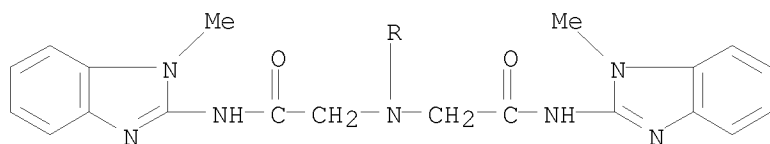
CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-[(4-methyl-2-benzothiazolyl)amino]-2-oxoethyl]- (CA INDEX NAME)



RN 853954-91-3 CAPLUS

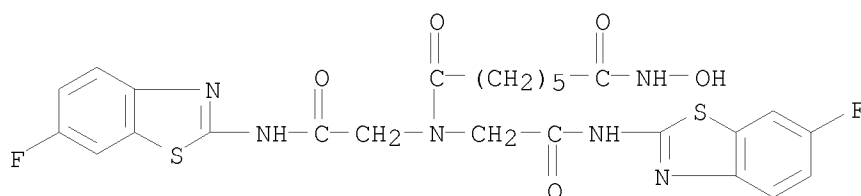
CN Heptanediamide, N7-hydroxy-N1,N1-bis[2-[(1-methyl-1H-benzimidazol-2-yl)amino]-2-oxoethyl]- (CA INDEX NAME)

10/923,271



RN 853954-92-4 CAPLUS

CN Heptanediamide, N1,N1-bis[2-[(6-fluoro-2-benzothiazolyl)amino]-2-oxoethyl]-N7-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:878165 CAPLUS

DOCUMENT NUMBER: 141:379809

TITLE: Preparation of pyridine derivatives as CXCR4 chemokine receptor binding compounds

INVENTOR(S): Bridger, Gary; McEachern, Ernest J.; Skerlj, Renato; Schols, Dominique

PATENT ASSIGNEE(S): Genzyme Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 211 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209921	A1	20041021	US 2004-823494	20040412
US 7291631	B2	20071106		
CA 2520259	A1	20041028	CA 2004-2520259	20040412
WO 2004091518	A2	20041028	WO 2004-US11328	20040412
WO 2004091518	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1613613 A2 20060111 EP 2004-759481 20040412

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

US 20080255197 A1 20081016 US 2007-936047 20071106

US 7863293 B2 20110104

PRIORITY APPLN. INFO.:

US 2003-462736P P 20030411

US 2003-505688P P 20030923

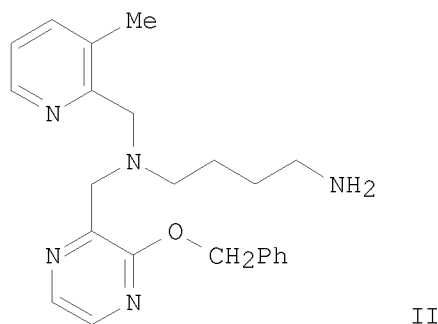
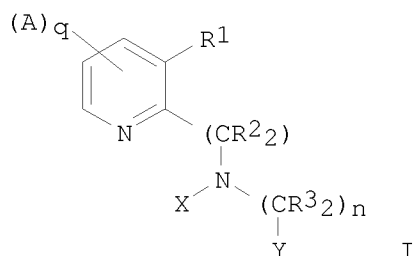
US 2004-823494 A3 20040412

WO 2004-US11328 W 20040412

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:379809

GI



AB Title compds. I [X = (CR32)o-(CR3=CR3)p-(CR32)r-NR52, (CR32)s-R4, (un)substituted mono or bicyclic ring optionally containing N, O or S, etc.; Y = (un)substituted N-containing monocyclic or bicyclic aromatic or partially aromatic moiety; A and R1 = non-interfering substituent provided that two As do not form a ring; R2 and R3 = H or (un)substituted alkyl; R4 = (un)substituted heterocycle or a hetero compound; R5 = H or alkyl; wherein R1 and R2 is not

H; and wherein R1 and R2 may be connected to form an addnl. ring if Y does not contain a 2-imidazolyl residue optionally connected to an addnl. ring; q and n independently = 0-4; p = 0-1; o and r independently = 1-4; s = 1-6 provided that if X = (CR3)2-R4, r is at least two if R4 = 2-pyridinyl, quinolinyl, imidazolyl or furan], as well as their pharmaceutically acceptable salts, are prepared and disclosed as having the ability to bind to chemokine receptors, in particular CXCR4. Thus, e.g., II was prepared by reductive amination of {4-[(3-methylpyridin-2-ylmethyl)-amino]-butyl}carbamic acid tert-Bu ester (preparation given) with 3-benzyloxypyrazine-2-carbaldehyde. The present invention also relates to methods of using such compds., such as in treating HIV infection and inflammatory conditions such as rheumatoid arthritis. In assays to evaluate inhibition of HIV-1, many compds. of the invention exhibited IC50 values in the range of 0.5nM-5µM. Furthermore, the present invention relates to methods to elevate progenitor and stem cell counts, as well as methods to elevate white blood cell counts, using such compds.

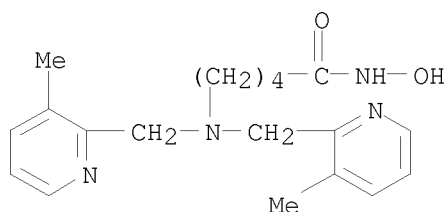
IT 780797-94-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridine derivs. as CXCR4 chemokine receptor binding compds.)

RN 780797-94-6 CAPLUS

CN Pentanamide, 5-[bis[(3-methyl-2-pyridinyl)methyl]amino]-N-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file stnguide

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
32.20	230.31

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-3.48	-3.48

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10/923,271

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 11, 2011 (20110211/UP).

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.12	231.43
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-3.48

FILE 'REGISTRY' ENTERED AT 13:35:26 ON 15 FEB 2011
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STRUCTURE FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1
DICTIONARY FILE UPDATES: 14 FEB 2011 HIGHEST RN 1262832-62-1

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

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predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10580480f.str

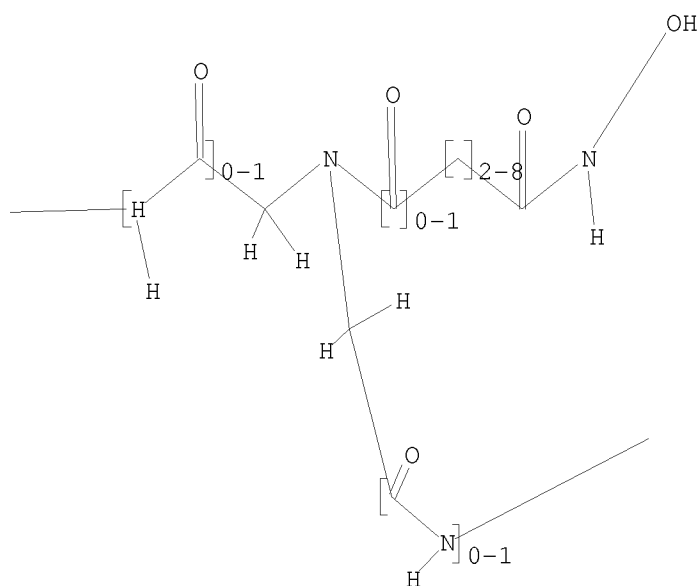
L6 STRUCTURE UPLOADED

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L6 HAS NO ANSWERS

L6 STR

10/923,271



Structure attributes must be viewed using STN Express query preparation.

=> s l6 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 196.35 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 13:36:37 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 213670 TO ITERATE

100.0% PROCESSED 213670 ITERATIONS

110 ANSWERS

SEARCH TIME: 00.00.06

L7 110 SEA SSS FUL L6

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

197.88

429.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-3.48

FILE 'CAPLUS' ENTERED AT 13:37:10 ON 15 FEB 2011

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10/923,271

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FILE COVERS 1907 - 15 Feb 2011 VOL 154 ISS 8
FILE LAST UPDATED: 14 Feb 2011 (20110214/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8 27 L7

=> s 18 and py<2003

23000005 PY<2003

L9 14 L8 AND PY<2003

=> s 18 and py<2004

24052574 PY<2004

L10 16 L8 AND PY<2004

=> s 110 and (heteroaryl or heterocyclyl)

22841 HETEROARYL

22397 HETEROCYCLYL

L11 3 L10 AND (HETEROARYL OR HETEROCYCLYL)

=> d 1-3 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 17.88 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:275960 CAPLUS

DOCUMENT NUMBER: 136:310184

TITLE: Preparation of hydroxamic acid peptide deformylase inhibitors as antibacterial agents

INVENTOR(S): Chong, Lee; Frechette, Roger; Scott, Carole; Tester, Richard; Smith, Whitney; Chiba, Katsumi; Sakamoto, Masatoshi; Gluchowski, Charles

PATENT ASSIGNEE(S): Questcor Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002028829	A2	20020411	WO 2001-US29926	20010924 <--
WO 2002028829	A3	20031224		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002030385	A	20020415	AU 2002-30385	20010924 <--
PRIORITY APPLN. INFO.:			US 2000-234967P	P 20000925
			US 2001-761850	A 20010118
			WO 2001-US29926	W 20010924
OTHER SOURCE(S):	MARPAT 136:310184			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB Hydroxamic acid derivs. of peptides and peptidomimetics of formulas I, II, and III [wherein Z = NHOH or ORa; Ra = alkyl or a biocleavable moiety; X = CO or SO₂; Y = (un)substituted heteroalkyl or heterocyclyl; R1 = (un)substituted (cyclo)alkyl, aryl, heterocyclyl, or heteroalkyl; R2R3 = 4-7 membered (un)substituted heterocycle; R2R4 = ring formed through a CH₂CH₂ linkage; or R2 = Me; or R3 = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; or R4 = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; R5 and R6 = independently H, NO₂, NH₂, NHCOH, NHCOCH₃, NHSO₂CH₃, or (un)substituted CH₂NH-(hetero)alkyl or CH₂NH-heterocyclyl; one of R7 or R8 = CHR10CONHOH; one of R7 or R8 = (un)substituted (hetero)alkyl, (alkyl) heterocyclyl, or alkylaryl; R9 and R10 = independently H or (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl] were prepared as peptide deformylase (Fe-PDF) inhibitors for treating various bacterial infections. For example, 3-pyrrolidinol was added to tert-Bu (R)-(2-pentyl)succinate mono(N-hydroxysuccinimide) ester to give the amide (68%). Treatment with 20% TFA/DCM, followed by MeOH, benzene, and TMSN₂ in hexanes, to afford the Me ester (90%). The pyrrolidinol was coupled with 4-methoxyphenylisocyanate and the ester converted to the hydroxamic acid (IV) using NH₂OH•HCl. The latter inhibited E. coli Fe-PDF with IC₅₀ of 9 nM and showed selectivity for Fe-PDF vs. thermolysin with a selectivity index of 30,000. Thus, I, II, and III are useful as antibiotics against a broad range of infectious disease in animals and humans.
- IT 409129-81-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (peptide deformylase inhibitor; preparation of hydroxamic acid derivs. of

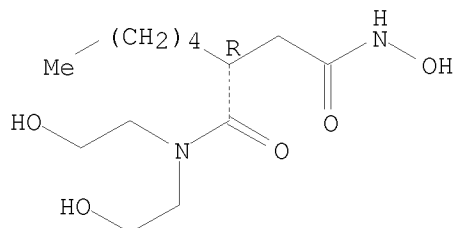
10/923,271

peptides and peptidomimetics as peptide deformylase inhibitors for treatment of infectious diseases)

RN 409129-81-3 CAPLUS

CN Butanediamide, N4-hydroxy-N1,N1-bis(2-hydroxyethyl)-2-pentyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:713343 CAPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of β -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- α

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315 <--
WO 2001070734	A3	20020314		
W:	AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
CA 2400168	A1	20010927	CA 2001-2400168	20010315 <--
AU 2001050850	A	20011003	AU 2001-50850	20010315 <--
EP 1263756	A2	20021211	EP 2001-924171	20010315 <--
EP 1263756	B1	20040225		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR			
BR 2001009469	A	20030429	BR 2001-9469	20010315 <--
JP 2003528097	T	20030924	JP 2001-568935	20010315 <--
AT 260272	T	20040315	AT 2001-924171	20010315

10/923,271

NZ 521245	A	20040430	NZ 2001-521245	20010315
ES 2215893	T3	20041016	ES 2001-924171	20010315
US 20020013341	A1	20020131	US 2001-811116	20010316 <--
US 6495565	B2	20021217		
IN 2002MN01075	A	20050304	IN 2002-MN1075	20020808
HK 1049334	A1	20040716	HK 2003-101437	20030226
PRIORITY APPLN. INFO.:			US 2000-190183P	P 20000317
			US 2000-235467P	P 20000926
			US 2000-252062P	P 20001120
			WO 2001-US8336	W 20010315

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

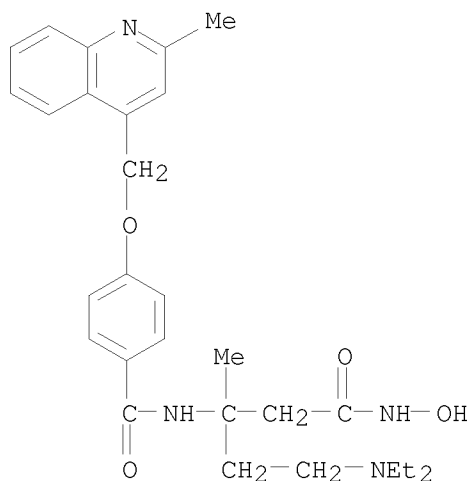
OTHER SOURCE(S): MARPAT 135:272894

AB Novel β -amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO₂H, SH, CH₂SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)₂, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO₂, O₂C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF- α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362698-32-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- α)

RN 362698-32-6 CAPLUS

CN Benzamide, N-[1-[2-(diethylamino)ethyl]-3-(hydroxyamino)-1-methyl-3-oxopropyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:700765 CAPLUS

DOCUMENT NUMBER: 121:300765

ORIGINAL REFERENCE NO.: 121:55057a, 55060a

TITLE: Preparation of oxoheterocyclyl-substituted hydroxamic acid derivatives as collagenase inhibitors

INVENTOR(S): Broadhurst, Michael John; Brown, Paul Anthony; Johnson, William Henry; Lawton, Geoffrey

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 574758	A1	19931222	EP 1993-108628	19930528 <--
EP 574758	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5318964	A	19940607	US 1993-66832	19930524 <--
AU 9339816	A	19931216	AU 1993-39816	19930526 <--
AU 659555	B2	19950518		
AT 170840	T	19980915	AT 1993-108628	19930528 <--
ES 2121896	T3	19981216	ES 1993-108628	19930528 <--
ZA 9303957	A	19931213	ZA 1993-3957	19930604 <--
RO 112613	B3	19971128	RO 1993-777	19930604 <--
CZ 283373	B6	19980415	CZ 1993-1081	19930604 <--
IL 105921	A	19980104	IL 1993-105921	19930607 <--
CA 2098168	A1	19931212	CA 1993-2098168	19930610 <--
NO 9302117	A	19931213	NO 1993-2117	19930610 <--

10/923,271

CN 1083062	A	19940302	CN 1993-107239	19930610 <--
CN 1035616	C	19970813		
JP 06065196	A	19940308	JP 1993-165228	19930610 <--
JP 07076210	B	19950816		
FI 109535	B1	20020830	FI 1993-2692	19930611 <--
US 5447929	A	19950905	US 1994-214895	19940317 <--

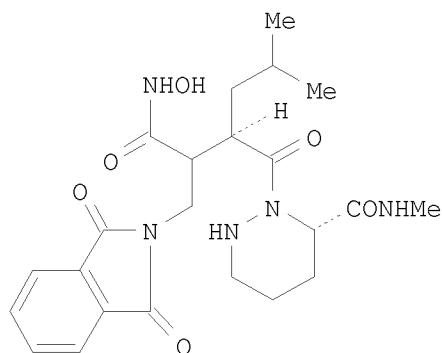
PRIORITY APPLN. INFO.:

GB 1992-12421	A	19920611
GB 1993-5720	A	19930319
US 1993-66832	A3	19930524

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 121:300765

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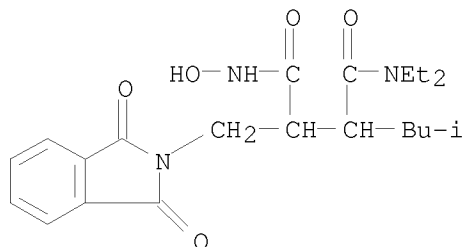
AB R1(CH₂)_nCH(CONHOH)CH(CONR₂R₃)CHR₄CR₅R₆CH₂R₇ (R₁ = N-attached oxoheterocyclyl; R₂ = alkyl; R₃ = alkyl or aryl; NR₂R₃ = heterocyclyl; R₄-R₇ = H or Me; n = 1-4) were prepared. Thus, (2R)-[(1R,S)-tert-butoxycarbonyl-2-phthalimidoethyl]-4-methylvaleric acid was amidated by 1-benzyloxycarbonyl-(3S)-hexahydropyridazinecarboxylic acid and the product converted in 3 steps to title compound (R,S)-I which had IC₅₀ of 1.2 nM against collagenase in vitro.

IT 159135-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as collagenase inhibitor)

RN 159135-28-1 CAPLUS

CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N,N-diethyl-N'-hydroxy-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS

10/923,271

RECORD (38 CITINGS)